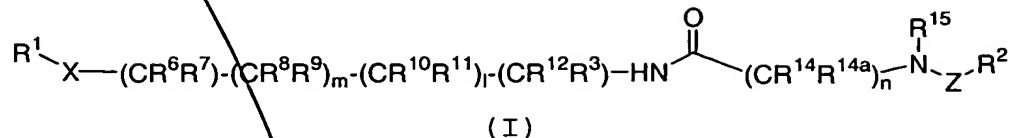


Claims:

1. A compound of Formula (I)



or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

- 10 Z is selected from a bond, -C(O)-, -C(O)NH-, -C(S)NH-, -SO₂-, and -SO₂NH-;
- X is selected from -NR¹⁷-, -O-, -S-, and -CHR¹⁶NR¹⁷-;
- 15 R¹ is selected from a C₆₋₁₀ aryl group substituted with 0-5 R⁴ and a 5-10 membered heteroaryl system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R⁴;
- 20 R² is selected from a C₆₋₁₀ aryl group substituted with 0-5 R⁵ and a 5-10 membered heteroaryl system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R⁵;
- 25 R³ is selected from H, (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{3d}, (CRR)_qS(O)_pR^{3d}, (CRR)_rC(O)R^{3b}, (CRR)_qNR^{3a}R^{3a}, (CRR)_rC(O)NR^{3a}R^{3a}, (CRR)_rC(O)NR^{3a}OR^{3d}, (CRR)_qSO₂NR^{3a}R^{3a}, (CRR)_rC(O)OR^{3d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{3e}, and a
- 30 (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{3e};

with the proviso that R³ is not H if R⁶ is H,

alternatively, R^3 and R^{12} join to form a C_{3-6} cycloalkyl substituted with 0-2 R^{3g} , a C_{5-6} lactam substituted with 0-2 R^{3g} , or a C_{5-6} lactone substituted with 0-2 R^{3g} ;

R^{3a} , at each occurrence, is independently selected from H, methyl substituted with 0-1 R^{3c} , C_{2-6} alkyl substituted with 0-3 R^{3e} , C_{3-8} alkenyl substituted with 0-3 R^{3e} , C_{3-8} alkynyl substituted with 0-3 R^{3e} , $(CH_2)_rC_{3-6}$ cycloalkyl, a $(CH_2)_r-C_{3-10}$ carbocyclic residue substituted with 0-5 R^{3e} , and a $(CH_2)_r-5-10$ membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{3e} ;

R^{3b} , at each occurrence, is independently selected from C_{1-6} alkyl substituted with 0-3 R^{3e} , C_{2-8} alkenyl substituted with 0-3 R^{3e} , C_{2-8} alkynyl substituted with 0-3 R^{3e} , a $(CH_2)_r-C_{3-6}$ carbocyclic residue substituted with 0-2 R^{3e} , and a $(CH_2)_r-5-6$ membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{3e} ;

R^{3c} is independently selected from $-C(O)R^{3b}$, $-C(O)OR^{3d}$, $-C(O)NR^{3f}R^{3f}$, and $(CH_2)_r$ phenyl;

R^{3d} , at each occurrence, is independently selected from H, methyl, $-CF_3$, C_{2-6} alkyl substituted with 0-3 R^{3e} , C_{3-6} alkenyl substituted with 0-3 R^{3e} , C_{3-6} alkynyl substituted with 0-3 R^{3e} , a C_{3-10} carbocyclic residue substituted with 0-3 R^{3e} , and a $(CH_2)_r-5-6$ membered

heterocyclic system containing 1-4 heteroatoms
selected from N, O, and S, substituted with 0-3 R^{3e};

B¹
cont
5 R^{3e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈
alkenyl, C₂₋₈ alkynyl, C₃₋₆ cycloalkyl, Cl, F, Br, I,
CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH,
(CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{3f}R^{3f}, and (CH₂)_rphenyl;

10 R^{3f}, at each occurrence, is selected from H, C₁₋₆ alkyl,
and C₃₋₆ cycloalkyl;

15 R^{3g} is selected from (CHR)_qOH, (CHR)_qSH, (CHR)_qOR^{3d},
(CHR)_qS(O)_pR^{3d}, (CHR)_rC(O)R^{3b}, (CHR)_qNR^{3a}R^{3a},
(CHR)_rC(O)NR^{3a}R^{3a}, (CHR)_rC(O)NR^{3a}OR^{3d},
20 (CHR)_qSO₂NR^{3a}R^{3a}, (CHR)_rC(O)OR^{3d}, and a (CHR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{3e};

25 R, at each occurrence, is independently selected from H,
C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆
cycloalkyl, (CHR)_rC(O)NR^{3a}R^{3a}, and (CHR)_rC(O)OR^{3d}, and
30 (CH₂)_rphenyl substituted with R^{3e};

25 R⁴, at each occurrence, is selected from C₁₋₈ alkyl, C₂₋₈
alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, Br,
I, F, NO₂, CN, (CR'R')_rNR^{4a}R^{4a}, (CR'R')_rOH,
(CR'R')_rO(CR'R')_rR^{4d}, (CR'R')_rSH, (CR'R')_rC(O)H,
(CR'R')_rS(CR'R')_rR^{4d}, (CR'R')_rC(O)OH,
(CR'R')_rC(O)(CR'R')_rR^{4b}, (CR'R')_rC(O)NR^{4a}R^{4a},
(CR'R')_rNR^{4f}C(O)(CR'R')_rR^{4b}, (CR'R')_rC(O)O(CR'R')_rR^{4d},
30 (CR'R')_rOC(O)(CR'R')_rR^{4b},
(CR'R')_rNR^{4f}C(O)O(CR'R')_rR^{4d}, (CR'R')_rOC(O)NR^{4a}R^{4a},
(CR'R')_rNR^{6a}C(S)NR^{6a}(CR'R')_rR^{6d},
(CR'R')_rNR^{4a}C(O)NR^{4a}R^{4a}, (CR'R')_rC(=NR^{4f})NR^{4a}R^{4a},

51
cont
(CR'R')_rNHC(=NR^{4f})NR^{4f}R^{4f}, (CR'R')_rS(O)_p(CR'R')_rR^{4b},
(CR'R')_rS(O)₂NR^{4a}R^{4a}, (CR'R')_rNR^{6f}S(O)₂NR^{6a}R^{6a},
(CR'R')_rNR^{4f}S(O)₂(CR'R')_rR^{4b}, C₁₋₆ haloalkyl, C₂₋₈
alkenyl substituted with 0-3 R', C₂₋₈ alkynyl
substituted with 0-3 R', and (CR'R')_rphenyl
substituted with 0-3 R^{4e};

alternatively, two R⁴ on adjacent atoms on R¹ may join to
form a cyclic acetal;

10 R^{4a}, at each occurrence, is independently selected from H,
methyl substituted with 0-1R^{4g}, C₂₋₆ alkyl
substituted with 0-2 R^{5e}, C₃₋₈ alkenyl substituted
with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e},
15 a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with
0-5 R^{4e}, and a (CH₂)_r-5-10 membered heterocyclic
system containing 1-4 heteroatoms selected from N,
O, and S, substituted with 0-2 R^{4e};

20 R^{4b}, at each occurrence, is selected from C₁₋₆ alkyl
substituted with 0-2 R^{5e}, C₃₋₈ alkenyl substituted
with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e},
a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-3
R^{4e}, and a (CH₂)_r-5-6 membered heterocyclic system
25 containing 1-4 heteroatoms selected from N, O, and
S, substituted with 0-2 R^{4e};

R^{4d}, at each occurrence, is selected from C₃₋₈ alkenyl
substituted with 0-2 R^{5e}, C₃₋₈ alkynyl substituted
30 with 0-2 R^{5e}, methyl, CF₃, C₂₋₆ alkyl substituted
with 0-3 R^{4e}, a (CH₂)_r-C₃₋₁₀ carbocyclic residue
substituted with 0-3 R^{4e}, and a (CH₂)_r-5-6 membered
heterocyclic system containing 1-4 heteroatoms
selected from N, O, and S, substituted with 0-3 R^{4e};

5
R^{4e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{4f}R^{4f}, and (CH₂)_rphenyl;

5
R^{4f}, at each occurrence, is selected from H, C₁₋₅ alkyl, and C₃₋₆ cycloalkyl, and phenyl;

10
R^{4g} is independently selected from -C(O)R^{4b}, -C(O)OR^{4d}, -C(O)NR^{4f}R^{4f}, and (CH₂)_rphenyl;

15
R⁵, at each occurrence, is selected from C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, Br, I, F, NO₂, CN, (CR'R')_rNR^{5a}R^{5a}, (CR'R')_rOH, (CR'R')_rO(CR'R')_rR^{5d}, (CR'R')_rSH, (CR'R')_rC(O)H, (CR'R')_rS(CR'R')_rR^{5d}, (CR'R')_rC(O)OH, (CR'R')_rC(O)(CR'R')_rR^{5b}, (CR'R')_rC(O)NR^{5a}R^{5a}, (CR'R')_rNR^{5f}C(O)(CR'R')_rR^{5b}, (CR'R')_rC(O)O(CR'R')_rR^{5d}, (CR'R')_rOC(O)(CR'R')_rR^{5b}, (CR'R')_rNR^{5f}C(O)O(CR'R')_rR^{5d}, 20 (CR'R')_rOC(O)NR^{5a}R^{5a}, (CR'R')_rNR^{5a}C(O)NR^{5a}R^{5a}, (CR'R')_rC(=NR^{5f})NR^{5a}R^{5a}, (CR'R')_rNHC(=NR^{5f})NR^{5f}R^{5f}, (CR'R')_rS(O)_p(CR'R')_rR^{5b}, (CR'R')_rS(O)₂NR^{5a}R^{5a}, (CR'R')_rNR^{5a}S(O)₂NR^{5a}R^{5a}, (CR'R')_rNR^{5f}S(O)₂(CR'R')_rR^{5b}, C₁₋₆ haloalkyl, C₂₋₈ alkenyl substituted with 0-3 R', 25 C₂₋₈ alkynyl substituted with 0-3 R', and (CR'R')_rphenyl substituted with 0-3 R^{5e};

alternatively, two R⁵ on adjacent atoms on R² may join to form a cyclic acetal;

30
R^{5a}, at each occurrence, is independently selected from H, methyl substituted with 0-1 R^{5g}, C₂₋₆ alkyl substituted with 0-2 R^{5e}, C₃₋₈ alkenyl substituted with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e},

a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{5e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-2 R^{5e};

R^{5b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-2 R^{5e}, C₃₋₈ alkenyl substituted with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-3 R^{5e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-2 R^{5e};

R^{5d}, at each occurrence, is independently selected from C₃₋₈ alkenyl substituted with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e}, methyl, CF₃, C₂₋₆ alkyl substituted with 0-3 R^{5e}, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{5e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{5e};

R^{5e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_r-C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{5f}R^{5f}, and (CH₂)_rphenyl;

R^{5f}, at each occurrence, is selected from H, C₁₋₅ alkyl, and C₃₋₆ cycloalkyl, and phenyl;

R^{5g} is independently selected from -C(O)R^{5b}, -C(O)OR^{5d}, -C(O)NR^{5f}R^{5f}, and (CH₂)_rphenyl;

R', at each occurrence, is selected from H, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, and (CH₂)_rphenyl substituted with R^{5e};

5 R⁶, is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{6d}, (CRR)_qS(O)_pR^{6d}, (CRR)_rC(O)R^{6b}, (CRR)_rNR^{6a}R^{6a}, (CRR)_rC(O)NR^{6a}R^{6a}, (CRR)_rC(O)NR^{6a}OR^{6d}, (CRR)SO₂NR^{6a}R^{6a}, (CRR)_rC(O)OR^{6d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{6e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{6e};

10 alternatively, R⁶ and R⁷ join to form a C₃₋₆ cycloalkyl substituted with 0-2 R^{6g}, a 5-6 membered ring lactam substituted with 0-2 R^{6g}, or a 5-6 membered ring lactone substituted with 0-2 R^{6g};

15 R^{6a}, at each occurrence, is independently selected from H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{6e}, C₃₋₈ alkenyl substituted with 0-3 R^{6e}, C₃₋₈ alkynyl substituted with 0-3 R^{6e}, (CH₂)_rC₃₋₆ cycloalkyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{6e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{6e};

20 R^{6b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{6e}, C₂₋₈ alkenyl substituted with 0-3 R^{6e}, C₂₋₈ alkynyl substituted with 0-3 R^{6e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{6e}, and a (CH₂)_r-5-6 membered

heterocyclic system containing 1-4 heteroatoms
selected from N, O, and S, substituted with 0-3 R^{6e};

5
B¹
Cont
R^{6d}, at each occurrence, is independently selected from H,
methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{6e},
C₃₋₆ alkenyl substituted with 0-3 R^{6e}, C₃₋₆ alkynyl
substituted with 0-3 R^{6e}, a C₃₋₁₀ carbocyclic residue
substituted with 0-3 R^{6e}, and a (CH₂)_{r-5-6} membered
heterocyclic system containing 1-4 heteroatoms
10 selected from N, O, and S, substituted with 0-3 R^{6e};

R^{6e}, at each occurrence, is independently selected from
C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆
cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃,
15 (CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅
alkyl, (CH₂)_rNR^{6f}R^{6f}, and (CH₂)_rphenyl;

R^{6f}, at each occurrence, is independently selected from H,
C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

20 R^{6g} is selected from (CHR)_qOH, (CHR)_qSH, (CHR)_qOR^{6d},
(CHR)_qS(O)_pR^{6d}, (CHR)_rC(O)R^{6b}, (CHR)_qNR^{6a}R^{6a},
(CHR)_rC(O)NR^{6a}R^{6a}, (CHR)_rC(O)NR^{6a}OR^{6d},
(CHR)_qSO₂NR^{6a}R^{6a}, (CHR)_rC(O)OR^{6d}, and a (CHR)_r-C₃₋₁₀
25 carbocyclic residue substituted with 0-5 R^{6e};

R⁷, is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{7d},
(CRR)_qS(O)_pR^{7d}, (CRR)_rC(O)R^{7b}, (CRR)_rNR^{7a}R^{7a},
30 (CRR)_rC(O)NR^{7a}R^{7a}, (CRR)_rC(O)NR^{7a}OR^{7d},
(CRR)_qSO₂NR^{7a}R^{7a}, (CRR)_rC(O)OR^{7d}, a (CRR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{7e}, and a

(CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{7e};

5 R^{7a}, at each occurrence, is independently selected from H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{7e}, C₃₋₈ alkenyl substituted with 0-3 R^{7e}, C₃₋₈ alkynyl substituted with 0-3 R^{7e}, (CH₂)_rC₃₋₆ cycloalkyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{7e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{7e};

15 R^{7b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{7e}, C₂₋₈ alkenyl substituted with 0-3 R^{7e}, C₂₋₈ alkynyl substituted with 0-3 R^{7e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{7e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{7e};

25 R^{7d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{7e}, C₃₋₆ alkenyl substituted with 0-3 R^{7e}, C₃₋₆ alkynyl substituted with 0-3 R^{7e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{7e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{7e};

30 R^{7e}, at each occurrence, is independently selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃,

(CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{7f}R^{7f}, and (CH₂)_rphenyl;

R^{7f}, at each occurrence, is independently selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

R⁸ is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, (CRR)_rOH, (CRR)_rSH, (CRR)_rOR^{8d}, (CRR)_rS(O)_pR^{8d}, (CRR)_rC(O)R^{8b}, (CRR)_rNR^{8a}R^{8a}, (CRR)_rC(O)NR^{8a}R^{8a}, (CRR)_rC(O)NR^{8a}OR^{8d}, (CRR)_rSO₂NR^{8a}R^{8a}, (CRR)_rC(O)OR^{8d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{8e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{8e};

alternatively, R⁸ and R⁹ join to form a C₃₋₆ cycloalkyl substituted with 0-2 R^{8g}, a 5-6 membered ring lactam substituted with 0-2 R^{8g}, or a 5-6 membered ring lactone substituted with 0-2 R^{8g};

R^{8a}, at each occurrence, is independently selected from H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{8e}, C₃₋₈ alkenyl substituted with 0-3 R^{8e}, C₃₋₈ alkynyl substituted with 0-3 R^{8e}, (CH₂)_rC₃₋₆ cycloalkyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{8e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{8e};

R^{8b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{8e}, C₂₋₈ alkenyl substituted with 0-3 R^{8e}, C₂₋₈ alkynyl substituted

with 0-3 R^{8e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{8e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{8e};

R^{8d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{8e}, C₃₋₆ alkenyl substituted with 0-3 R^{8e}, C₃₋₆ alkynyl substituted with 0-3 R^{8e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{8e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{8e};

R^{8e}, at each occurrence, is independently selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{8f}R^{8f}, and (CH₂)_rphenyl;

R^{8f}, at each occurrence, is independently selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

R^{8g} is selected from (CHR)_qOH, (CHR)_qSH, (CHR)_qOR^{8d}, (CHR)_qS(O)_pR^{8d}, (CHR)_rC(O)R^{8b}, (CHR)_qNR^{8a}R^{8a}, (CHR)_rC(O)NR^{8a}R^{8a}, (CHR)_rC(O)NR^{8a}OR^{8d}, (CHR)_qSO₂NR^{8a}R^{8a}, (CHR)_rC(O)OR^{8d}, and a (CHR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{8e};

R⁹ is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, (CRR)_rOH, (CRR)_rSH, (CRR)_rOR^{9d}, (CRR)_rS(O)_pR^{9d}, (CRR)_rC(O)R^{9b}, (CRR)_rNR^{9a}R^{9a}, (CRR)_rC(O)NR^{9a}R^{9a}, (CRR)_rC(O)NR^{9a}OR^{9d},

BI 5
Cont
(CRR)_rSO₂NR^{9a}R^{9a}, (CRR)_rC(O)OR^{9d}, a (CRR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{9e}, and a
(CRR)_r-5-10 membered heterocyclic system containing
1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{9e};

10 R^{9a}, at each occurrence, is independently selected from H,
methyl, C₂₋₆ alkyl substituted with 0-3 R^{9e}, C₃₋₈
alkenyl substituted with 0-3 R^{9e}, C₃₋₈ alkynyl
substituted with 0-3 R^{9e}, (CH₂)_rC₃₋₆ cycloalkyl, a
15 (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5
R^{9e}, and a (CH₂)_r-5-10 membered heterocyclic system
containing 1-4 heteroatoms selected from N, O, and
S, substituted with 0-3 R^{9e};

15 R^{9b}, at each occurrence, is independently selected from
C₁₋₆ alkyl substituted with 0-3 R^{9e}, C₂₋₈ alkenyl
substituted with 0-3 R^{9e}, C₂₋₈ alkynyl substituted
with 0-3 R^{9e}, a (CH₂)_r-C₃₋₆ carbocyclic residue
20 substituted with 0-2 R^{9e}, and a (CH₂)_r-5-6 membered
heterocyclic system containing 1-4 heteroatoms
selected from N, O, and S, substituted with 0-3 R^{9e};

25 R^{9d}, at each occurrence, is independently selected from H,
methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{9e},
C₃₋₆ alkenyl substituted with 0-3 R^{9e}, C₃₋₆ alkynyl
substituted with 0-3 R^{9e}, a C₃₋₁₀ carbocyclic residue
substituted with 0-3 R^{9e}, and a (CH₂)_r-5-6 membered
heterocyclic system containing 1-4 heteroatoms
30 selected from N, O, and S, substituted with 0-3 R^{9e};

5 *Cont* R^{9e}, at each occurrence, is independently selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{9f}R^{9f}, and (CH₂)_rphenyl;

R^{9f}, at each occurrence, is independently selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

10 R¹⁰ is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, (CRR)_rOH, (CRR)_rSH, (CRR)_rOR^{10d}, (CRR)_rS(O)_pR^{10d}, (CRR)_rC(O)R^{10b}, (CRR)_rNR^{10a}R^{10a}, (CRR)_rC(O)NR^{10a}R^{10a}, (CRR)_rC(O)NR^{10a}OR^{10d}, (CRR)_rSO₂NR^{10a}R^{10a}, (CRR)_rC(O)OR^{10d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{10e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{10e};

20 alternatively, R¹⁰ and R¹¹ join to form a C₃₋₆ cycloalkyl substituted with 0-2 R^{10g}, a 5-6 membered ring lactam substituted with 0-2 R^{10g}, or a 5-6 membered ring lactone substituted with 0-2 R^{10g};

25 R^{10a}, at each occurrence, is independently selected from H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{10e}, C₃₋₈ alkenyl substituted with 0-3 R^{10e}, C₃₋₈ alkynyl substituted with 0-3 R^{10e}, (CH₂)_rC₃₋₆ cycloalkyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{10e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{10e};

5 *B'*
Cont
R^{10b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{10e}, C₂₋₈ alkenyl substituted with 0-3 R^{10e}, C₂₋₈ alkynyl substituted with 0-3 R^{10e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{10e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{10e};

10
15
R^{10d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{10e}, C₃₋₆ alkenyl substituted with 0-3 R^{10e}, C₃₋₆ alkynyl substituted with 0-3 R^{10e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{10e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{10e};

20
R^{10e}, at each occurrence, is independently selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{10f}R^{10f}, and (CH₂)_rphenyl;

25
R^{10f}, at each occurrence, is independently selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

30
R^{10g} is selected from (CHR)_qOH, (CHR)_qSH, (CHR)_qOR^{10d}, (CHR)_qS(O)_pR^{10d}, (CHR)_rC(O)R^{10b}, (CHR)_qNR^{10a}R^{10a}, (CHR)_rC(O)NR^{10a}R^{10a}, (CHR)_rC(O)NR^{10a}OR^{10d}, (CHR)_qSO₂NR^{10a}R^{10a}, (CHR)_rC(O)OR^{10d}, and a (CHR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{10e};

B1
Cont

R¹¹ is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, (CRR)_rOH, (CRR)_rSH, (CRR)_rOR^{11d}, (CRR)_rS(O)_pR^{11d}, (CRR)_rC(O)R^{11b}, (CRR)_rNR^{11a}R^{11a}, (CRR)_rC(O)NR^{11a}R^{11a}, (CRR)_rC(O)NR^{11a}OR^{11d}, (CRR)_rSO₂NR^{11a}R^{11a}, (CRR)_rC(O)OR^{11d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{11e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{11e};

10 R^{11a}, at each occurrence, is independently selected from H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{11e}, C₃₋₈ alkenyl substituted with 0-3 R^{11e}, C₃₋₈ alkynyl substituted with 0-3 R^{11e}, (CH₂)_r-C₃₋₆ cycloalkyl, a 15 (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{11e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{11e};

20 R^{11b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{11e}, C₂₋₈ alkenyl substituted with 0-3 R^{11e}, C₂₋₈ alkynyl substituted with 0-3 R^{11e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{11e}, and a (CH₂)_r-5-6 membered 25 heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{11e};

R^{11d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 30 R^{11e}, C₃₋₆ alkenyl substituted with 0-3 R^{11e}, C₃₋₆ alkynyl substituted with 0-3 R^{11e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{11e}, and a

(CH₂)_r-5-6 membered heterocyclic system containing
1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{11e};

R^{11e}, at each occurrence, is independently selected from
C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆
cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃,
(CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅
alkyl, (CH₂)_rNR^{11f}R^{11f}, and (CH₂)_rphenyl;

R^{11f}, at each occurrence, is independently selected from
H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

R¹² is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{12d},
(CRR)_qS(O)_pR^{12d}, (CRR)_rC(O)R^{12b}, (CRR)_rNR^{12a}R^{12a},
(CRR)_rC(O)NR^{12a}R^{12a}, (CRR)_rC(O)NR^{12a}OR^{12d},
(CRR)_qSO₂NR^{12a}R^{12a}, (CRR)_rC(O)OR^{12d}, a (CRR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{12e}, and a
(CRR)_r-5-10 membered heterocyclic system containing
1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{12e};

R^{12a}, at each occurrence, is independently selected from
H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{12e}, C₃₋₈
alkenyl substituted with 0-3 R^{12e}, C₃₋₈ alkynyl
substituted with 0-3 R^{12e}, (CH₂)_rC₃₋₆ cycloalkyl, a
(CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5
R^{12e}, and a (CH₂)_r-5-10 membered heterocyclic system
containing 1-4 heteroatoms selected from N, O, and
S, substituted with 0-3 R^{12e};

R¹
cont

R^{12b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{12e}, C₂₋₈ alkenyl substituted with 0-3 R^{12e}, C₂₋₈ alkynyl substituted with 0-3 R^{12e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{12e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{12e};

10 R^{12d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{12e}, C₃₋₆ alkenyl substituted with 0-3 R^{12e}, C₃₋₆ alkynyl substituted with 0-3 R^{12e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{12e}, and a (CH₂)_r-5-6 membered heterocyclic system containing
15 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{12e};

20 R^{12e}, at each occurrence, is independently selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{12f}R^{12f}, and (CH₂)_rphenyl;

25 R^{12f}, at each occurrence, is selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

R¹⁴ and R^{14a} are independently selected from H, and C₁₋₄alkyl substituted with 0-1 R^{14b},

30 alternatively, R¹⁴ and R^{14a} can join to form a C₃₋₆ cycloalkyl;

B1
Cont
R^{14b}, at each occurrence, is independently selected from
-OH, -SH, -NR^{14c}R^{14c}, -C(O)NR^{14c}R^{14c}, -NHC(O)R^{14c} and
phenyl;

5 R^{14c} is selected from H, C₁₋₄ alkyl and C₃₋₆ cycloalkyl;

R¹⁵ is selected from H, C₁₋₄ alkyl, and C₃₋₆ cycloalkyl;

R¹⁶ is selected from H, C₁₋₄ alkyl substituted with 0-3

10 R^{16a}, and C₃₋₆ cycloalkyl substituted with 0-3 R^{16a};

R^{16a} is selected from C₁₋₄ alkyl, -OH, -SH, -NR^{16c}R^{16c},
-C(O)NR^{16c}R^{16c}, and -NHC(O)R^{16c};

15 R^{16c} is selected from H, C₁₋₄ alkyl and C₃₋₆ cycloalkyl;

R¹⁷ is selected from H, C₁₋₄ alkyl, and C₃₋₄ cycloalkyl;

n is selected from 1 and 2;

20 l is selected from 0 and 1;

m is selected from 0 and 1;

25 p, at each occurrence, is selected from 0, 1, or 2;

q, at each occurrence, is selected from 1, 2, 3, or 4;
and

30 r, at each occurrence, is selected from 0, 1, 2, 3, or 4.

(2. A compound of claim 1, wherein

35 Z is selected from a bond, -C(O)-, -C(O)NH-, -C(S)NH-,
-SO₂-, and -SO₂NH-;

X is selected from -NR¹⁷-, -O-, -S-, and -CHR¹⁶NR¹⁷-;

B1
cont⁵

R¹ is selected from a C₆₋₁₀ aryl group substituted with 0-5 R⁴ and a 5-10 membered heteroaryl system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R⁴;

10 R² is selected from a C₆₋₁₀ aryl group substituted with 0-5 R⁵ and a 5-10 membered heteroaryl system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R⁵;

15 R³ is selected from (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{3d}, (CRR)_qS(O)_pR^{3d}, (CRR)_rC(O)R^{3b}, (CRR)_qNR^{3a}R^{3a}, (CRR)_rC(O)NR^{3a}R^{3a}, (CRR)_rC(O)NR^{3a}OR^{3d}, (CRR)_qSO₂NR^{3a}R^{3a}, (CRR)_rC(O)OR^{3d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{3e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{3e};

20 alternatively, R³ and R¹² join to form a C₃₋₆ cycloalkyl substituted with 0-2 R^{3g}, a C₅₋₆ lactam substituted with 0-2 R^{3g}, or a C₅₋₆ lactone substituted with 0-2 R^{3g};

25 R^{3a}, at each occurrence, is independently selected from H, methyl substituted with 0-1 R^{3c}, C₂₋₆ alkyl substituted with 0-3 R^{3e}, C₃₋₈ alkenyl substituted with 0-3 R^{3e}, C₃₋₈ alkynyl substituted with 0-3 R^{3e}, (CH₂)_r-C₃₋₆ cycloalkyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{3e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{3e};

30

B1
cont⁵

R^{3b} , at each occurrence, is independently selected from C_{1-6} alkyl substituted with 0-3 R^{3e} , C_{2-8} alkenyl substituted with 0-3 R^{3e} , C_{2-8} alkynyl substituted with 0-3 R^{3e} , a $(CH_2)_r$ - C_{3-6} carbocyclic residue substituted with 0-2 R^{3e} , and a $(CH_2)_r$ -5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{3e} ;

10 R^{3c} is independently selected from $-C(O)R^{3b}$, $-C(O)OR^{3d}$, $-C(O)NR^{3f}R^{3f}$, and $(CH_2)_r$ phenyl;

R^{3d} , at each occurrence, is independently selected from H, methyl, $-CF_3$, C_{2-6} alkyl substituted with 0-3 R^{3e} , C_{3-6} alkenyl substituted with 0-3 R^{3e} , C_{3-6} alkynyl substituted with 0-3 R^{3e} , a C_{3-10} carbocyclic residue substituted with 0-3 R^{3e} , and a $(CH_2)_r$ -5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{3e} ;

20 R^{3e} , at each occurrence, is selected from C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{3-6} cycloalkyl, Cl, F, Br, I, CN, NO_2 , $(CF_2)_rCF_3$, $(CH_2)_rOC_{1-5}$ alkyl, OH, SH, $(CH_2)_rSC_{1-5}$ alkyl, $(CH_2)_rNR^{3f}R^{3f}$, and $(CH_2)_r$ phenyl;

25 R^{3f} , at each occurrence, is selected from H, C_{1-6} alkyl, and C_{3-6} cycloalkyl;

30 R^{3g} is selected from $(CHR)_qOH$, $(CHR)_qSH$, $(CHR)_qOR^{3d}$, $(CHR)_qS(O)_pR^{3d}$, $(CHR)_rC(O)R^{3b}$, $(CHR)_qNR^{3a}R^{3a}$, $(CHR)_rC(O)NR^{3a}R^{3a}$, $(CHR)_rC(O)NR^{3a}OR^{3d}$,

(CHR)_qSO₂NR^{3a}R^{3a}, (CHR)_rC(O)OR^{3d}, and a (CHR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{3e};

B1
Cont
5 R, at each occurrence, is independently selected from H, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, (CHR)_rC(O)NR^{3a}R^{3a}, and (CHR)_rC(O)OR^{3d}, and (CH₂)_rphenyl substituted with R^{3e};

10 R⁴, at each occurrence, is selected from C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, Br, I, F, NO₂, CN, (CR'R')_rNR^{4a}R^{4a}, (CR'R')_rOH, (CR'R')_rO(CR'R')_rR^{4d}, (CR'R')_rSH, (CR'R')_rC(O)H, (CR'R')_rS(CR'R')_rR^{4d}, (CR'R')_rC(O)OH, (CR'R')_rC(O)(CR'R')_rR^{4b}, (CR'R')_rC(O)NR^{4a}R^{4a}, 15 (CR'R')_rNR^{4f}C(O)(CR'R')_rR^{4b}, (CR'R')_rC(O)O(CR'R')_rR^{4d}, (CR'R')_rOC(O)(CR'R')_rR^{4b}, (CR'R')_rNR^{4f}C(O)O(CR'R')_rR^{4d}, (CR'R')_rOC(O)NR^{4a}R^{4a}, (CR'R')_rNR^{6a}C(S)NR^{6a}(CR'R')_rR^{6d}, (CR'R')_rNR^{4a}C(O)NR^{4a}R^{4a}, (CR'R')_rC(=NR^{4f})NR^{4a}R^{4a}, 20 (CR'R')_rNHC(=NR^{4f})NR^{4f}R^{4f}, (CR'R')_rS(O)_p(CR'R')_rR^{4b}, (CR'R')_rS(O)₂NR^{4a}R^{4a}, (CR'R')_rNR^{6f}S(O)₂NR^{6a}R^{6a}, (CR'R')_rNR^{4f}S(O)₂(CR'R')_rR^{4b}, C₁₋₆ haloalkyl, C₂₋₈ alkenyl substituted with 0-3 R', C₂₋₈ alkynyl substituted with 0-3 R', and (CR'R')_rphenyl 25 substituted with 0-3 R^{4e};

alternatively, two R⁴ on adjacent atoms on R¹ may join to form a cyclic acetal;

30 R^{4a}, at each occurrence, is independently selected from H, methyl substituted with 0-1R^{4g}, C₂₋₆ alkyl substituted with 0-2 R^{5e}, C₃₋₈ alkenyl substituted with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e}, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with

0-5 R^{4e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-2 R^{4e};

R^{4b}, at each occurrence, is selected from C₁₋₆ alkyl substituted with 0-2 R^{5e}, C₃₋₈ alkenyl substituted with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e}, a (CH₂)_rC₃₋₆ carbocyclic residue substituted with 0-3 R^{4e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-2 R^{4e};

R^{4d}, at each occurrence, is selected from C₃₋₈ alkenyl substituted with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e}, methyl, CF₃, C₂₋₆ alkyl substituted with 0-3 R^{4e}, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{4e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{4e};

R^{4e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{4f}R^{4f}, and (CH₂)_rphenyl;

R^{4f}, at each occurrence, is selected from H, C₁₋₅ alkyl, and C₃₋₆ cycloalkyl, and phenyl;

R^{4g} is independently selected from -C(O)R^{4b}, -C(O)OR^{4d}, -C(O)NR^{4f}R^{4f}, and (CH₂)_rphenyl;

R⁵, at each occurrence, is selected from C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, Br, I, F, NO₂, CN, (CR'R')_rNR^{5a}R^{5a}, (CR'R')_rOH, (CR'R')_rO(CR'R')_rR^{5d}, (CR'R')_rSH, (CR'R')_rC(O)H,

B1
cont

(CR'R')_rS(CR'R')_rR^{5d}, (CR'R')_rC(O)OH,
(CR'R')_rC(O)(CR'R')_rR^{5b}, (CR'R')_rC(O)NR^{5a}R^{5a},
(CR'R')_rNR^{5f}C(O)(CR'R')_rR^{5b}, (CR'R')_rC(O)O(CR'R')_rR^{5d},
(CR'R')_rOC(O)(CR'R')_rR^{5b}, (CR'R')_rNR^{5f}C(O)O(CR'R')_rR^{5d},
(CR'R')_rOC(O)NR^{5a}R^{5a}, (CR'R')_rNR^{5a}C(O)NR^{5a}R^{5a},
(CR'R')_rC(=NR^{5f})NR^{5a}R^{5a}, (CR'R')_rNHC(=NR^{5f})NR^{5f}R^{5f},
(CR'R')_rS(O)_p(CR'R')_rR^{5b}, (CR'R')_rS(O)₂NR^{5a}R^{5a},
(CR'R')_rNR^{5a}S(O)₂NR^{5a}R^{5a}, (CR'R')_rNR^{5f}S(O)₂(CR'R')_rR^{5b},
C₁₋₆ haloalkyl, C₂₋₈ alkenyl substituted with 0-3 R',
C₂₋₈ alkynyl substituted with 0-3 R', and
(CR'R')_rphenyl substituted with 0-3 R^{5e};

alternatively, two R⁵ on adjacent atoms on R² may join to
form a cyclic acetal;

R^{5a}, at each occurrence, is independently selected from H,
methyl substituted with 0-1 R^{5g}, C₂₋₆ alkyl
substituted with 0-2 R^{5e}, C₃₋₈ alkenyl substituted
with 0-2 R^{5e}, C₃₋₈ alkynyl substituted with 0-2 R^{5e},
a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with
0-5 R^{5e}, and a (CH₂)_r-5-10 membered heterocyclic
system containing 1-4 heteroatoms selected from N,
O, and S, substituted with 0-2 R^{5e};

R^{5b}, at each occurrence, is independently selected from
C₁₋₆ alkyl substituted with 0-2 R^{5e}, C₃₋₈ alkenyl
substituted with 0-2 R^{5e}, C₃₋₈ alkynyl substituted
with 0-2 R^{5e}, a (CH₂)_r-C₃₋₆ carbocyclic residue
substituted with 0-3 R^{5e}, and a (CH₂)_r-5-6 membered
heterocyclic system containing 1-4 heteroatoms
selected from N, O, and S, substituted with 0-2 R^{5e};

R^{5d}, at each occurrence, is independently selected from
C₃₋₈ alkenyl substituted with 0-2 R^{5e}, C₃₋₈ alkynyl

substituted with 0-2 R^{5e}, methyl, CF₃, C₂₋₆ alkyl
substituted with 0-3 R^{5e}, a (CH₂)_r-C₃₋₁₀ carbocyclic
residue substituted with 0-3 R^{5e}, and a (CH₂)_r-5-6
membered heterocyclic system containing 1-4
heteroatoms selected from N, O, and S, substituted
with 0-3 R^{5e};

R^{5e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈
alkenyl, C₂₋₈ alkynyl, (CH₂)_r-C₃₋₆ cycloalkyl, Cl, F,
Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH,
(CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{5f}R^{5f}, and (CH₂)_rphenyl;

R^{5f}, at each occurrence, is selected from H, C₁₋₅ alkyl,
and C₃₋₆ cycloalkyl, and phenyl;

R^{5g} is independently selected from -C(O)R^{5b}, -C(O)OR^{5d},
-C(O)NR^{5f}R^{5f}, and (CH₂)_rphenyl;

R', at each occurrence, is selected from H, C₁₋₆ alkyl,
C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_r-C₃₋₆ cycloalkyl, and
(CH₂)_rphenyl substituted with R^{5e};

R⁶, is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{6d},
(CRR)_qS(O)_pR^{6d}, (CRR)_rC(O)R^{6b}, (CRR)_rNR^{6a}R^{6a},
(CRR)_rC(O)NR^{6a}R^{6a}, (CRR)_rC(O)NR^{6a}OR^{6d}, (CRR)SO₂NR^{6a}R^{6a},
(CRR)_rC(O)OR^{6d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue
substituted with 0-5 R^{6e}, and a (CRR)_r-5-10 membered
heterocyclic system containing 1-4 heteroatoms
selected from N, O, and S, substituted with 0-3 R^{6e};

alternatively, R⁶ and R⁷ join to form a C₃₋₆ cycloalkyl
substituted with 0-2 R^{6g}, a 5-6 membered ring lactam
substituted with 0-2 R^{6g}, or a 5-6 membered ring
lactone substituted with 0-2 R^{6g};

B1
cont⁵

[illegible]

20

25

30

R^{6f}, at each occurrence, is independently selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

B1
Cont

5 R^{6g} is selected from (CHR)_qOH, (CHR)_qSH, (CHR)_qOR^{6d}, (CHR)_qS(O)_pR^{6d}, (CHR)_rC(O)R^{6b}, (CHR)_qNR^{6a}R^{6a}, (CHR)_rC(O)NR^{6a}R^{6a}, (CHR)_rC(O)NR^{6a}OR^{6d}, (CHR)_qSO₂NR^{6a}R^{6a}, (CHR)_rC(O)OR^{6d}, and a (CHR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{6e};

10 R⁷, is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{7d}, (CRR)_qS(O)_pR^{7d}, (CRR)_rC(O)R^{7b}, (CRR)_rNR^{7a}R^{7a}, (CRR)_rC(O)NR^{7a}R^{7a}, (CRR)_rC(O)NR^{7a}OR^{7d},
15 (CRR)_qSO₂NR^{7a}R^{7a}, (CRR)_rC(O)OR^{7d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{7e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{7e};

20 R^{7a}, at each occurrence, is independently selected from H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{7e}, C₃₋₈ alkenyl substituted with 0-3 R^{7e}, C₃₋₈ alkynyl substituted with 0-3 R^{7e}, (CH₂)_rC₃₋₆ cycloalkyl, a
25 (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{7e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{7e};

30 R^{7b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{7e}, C₂₋₈ alkenyl substituted with 0-3 R^{7e}, C₂₋₈ alkynyl substituted

with 0-3 R^{7e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{7e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{7e};

R^{7d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{7e}, C₃₋₆ alkenyl substituted with 0-3 R^{7e}, C₃₋₆ alkynyl substituted with 0-3 R^{7e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{7e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{7e};

R^{7e}, at each occurrence, is independently selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{7f}R^{7f}, and (CH₂)_rphenyl;

R^{7f}, at each occurrence, is independently selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

R⁸ is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, (CRR)_rOH, (CRR)_rSH, (CRR)_rOR^{8d}, (CRR)_rS(O)_pR^{8d}, (CRR)_rC(O)R^{8b}, (CRR)_rNR^{8a}R^{8a}, (CRR)_rC(O)NR^{8a}R^{8a}, (CRR)_rC(O)NR^{8a}OR^{8d}, (CRR)_rSO₂NR^{8a}R^{8a}, (CRR)_rC(O)OR^{8d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{8e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{8e};

alternatively, R⁸ and R⁹ join to form a C₃₋₆ cycloalkyl substituted with 0-2 R^{8g}, a 5-6 membered ring lactam substituted with 0-2 R^{8g}, or a 5-6 membered ring lactone substituted with 0-2 R^{8g};

⁵
B1
Cont R^{8a}, at each occurrence, is independently selected from H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{8e}, C₃₋₈ alkenyl substituted with 0-3 R^{8e}, C₃₋₈ alkynyl substituted with 0-3 R^{8e}, (CH₂)_rC₃₋₆ cycloalkyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{8e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{8e};

R^{8b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{8e}, C₂₋₈ alkenyl substituted with 0-3 R^{8e}, C₂₋₈ alkynyl substituted with 0-3 R^{8e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{8e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{8e};

R^{8d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{8e}, C₃₋₆ alkenyl substituted with 0-3 R^{8e}, C₃₋₆ alkynyl substituted with 0-3 R^{8e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{8e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{8e};

R^{8e}, at each occurrence, is independently selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆

cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃,
(CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅
alkyl, (CH₂)_rNR^{8f}R^{8f}, and (CH₂)_rphenyl;

R^{8f}, at each occurrence, is independently selected from H,
C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

R^{8g} is selected from (CHR)_qOH, (CHR)_qSH, (CHR)_qOR^{8d},
(CHR)_qS(O)_pR^{8d}, (CHR)_rC(O)R^{8b}, (CHR)_qNR^{8a}R^{8a},
(CHR)_rC(O)NR^{8a}R^{8a}, (CHR)_rC(O)NR^{8a}OR^{8d},
(CHR)_qSO₂NR^{8a}R^{8a}, (CHR)_rC(O)OR^{8d}, and a (CHR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{8e};

R⁹ is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, (CRR)_rOH, (CRR)_rSH, (CRR)_rOR^{9d},
(CRR)_rS(O)_pR^{9d}, (CRR)_rC(O)R^{9b}, (CRR)_rNR^{9a}R^{9a},
(CRR)_rC(O)NR^{9a}R^{9a}, (CRR)_rC(O)NR^{9a}OR^{9d},
(CRR)_rSO₂NR^{9a}R^{9a}, (CRR)_rC(O)OR^{9d}, a (CRR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{9e}, and a
(CRR)_r-5-10 membered heterocyclic system containing
1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{9e};

R^{9a}, at each occurrence, is independently selected from H,
methyl, C₂₋₆ alkyl substituted with 0-3 R^{9e}, C₃₋₈
alkenyl substituted with 0-3 R^{9e}, C₃₋₈ alkynyl
substituted with 0-3 R^{9e}, (CH₂)_rC₃₋₆ cycloalkyl, a
(CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5
R^{9e}, and a (CH₂)_r-5-10 membered heterocyclic system
containing 1-4 heteroatoms selected from N, O, and
S, substituted with 0-3 R^{9e};

B¹
cont
5
R^{9b}, at each occurrence, is independently selected from C₁₋₆ alkyl substituted with 0-3 R^{9e}, C₂₋₈ alkenyl substituted with 0-3 R^{9e}, C₂₋₈ alkynyl substituted with 0-3 R^{9e}, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{9e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{9e};

10 R^{9d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{9e}, C₃₋₆ alkenyl substituted with 0-3 R^{9e}, C₃₋₆ alkynyl substituted with 0-3 R^{9e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{9e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms
15 selected from N, O, and S, substituted with 0-3 R^{9e};

R^{9e}, at each occurrence, is independently selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃,
20 (CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{9f}R^{9f}, and (CH₂)_rphenyl;

R^{9f}, at each occurrence, is independently selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

25 R¹⁰ is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, (CRR)_rOH, (CRR)_rSH, (CRR)_rOR^{10d}, (CRR)_rS(O)_pR^{10d}, (CRR)_rC(O)R^{10b}, (CRR)_rNR^{10a}R^{10a}, (CRR)_rC(O)NR^{10a}R^{10a}, (CRR)_rC(O)NR^{10a}OR^{10d},
30 (CRR)_rSO₂NR^{10a}R^{10a}, (CRR)_rC(O)OR^{10d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{10e}, and a (CRR)_r-5-10 membered heterocyclic system containing

1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{10e};

alternatively, R¹⁰ and R¹¹ join to form a C₃₋₆ cycloalkyl
substituted with 0-2 R^{10g}, a 5-6 membered ring lactam
substituted with 0-2 R^{10g}, or a 5-6 membered ring
lactone substituted with 0-2 R^{10g};

R^{10a}, at each occurrence, is independently selected from
H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{10e}, C₃₋₈
alkenyl substituted with 0-3 R^{10e}, C₃₋₈ alkynyl
substituted with 0-3 R^{10e}, (CH₂)_rC₃₋₆ cycloalkyl, a
(CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5
R^{10e}, and a (CH₂)_r-5-10 membered heterocyclic system
containing 1-4 heteroatoms selected from N, O, and
S, substituted with 0-3 R^{10e};

R^{10b}, at each occurrence, is independently selected from
C₁₋₆ alkyl substituted with 0-3 R^{10e}, C₂₋₈ alkenyl
substituted with 0-3 R^{10e}, C₂₋₈ alkynyl substituted
with 0-3 R^{10e}, a (CH₂)_r-C₃₋₆ carbocyclic residue
substituted with 0-2 R^{10e}, and a (CH₂)_r-5-6 membered
heterocyclic system containing 1-4 heteroatoms
selected from N, O, and S, substituted with 0-3 R^{10e};

R^{10d}, at each occurrence, is independently selected from
H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3
R^{10e}, C₃₋₆ alkenyl substituted with 0-3 R^{10e}, C₃₋₆
alkynyl substituted with 0-3 R^{10e}, a C₃₋₁₀
carbocyclic residue substituted with 0-3 R^{10e}, and a
(CH₂)_r-5-6 membered heterocyclic system containing

1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{10e};

R^{10e}, at each occurrence, is independently selected from
C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆
cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃,
(CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅
alkyl, (CH₂)_rNR^{10f}R^{10f}, and (CH₂)_rphenyl;

10 R^{10f}, at each occurrence, is independently selected from
H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

R^{10g} is selected from (CHR)_qOH, (CHR)_qSH, (CHR)_qOR^{10d},
(CHR)_qS(O)_pR^{10d}, (CHR)_rC(O)R^{10b}, (CHR)_qNR^{10a}R^{10a},
15 (CHR)_rC(O)NR^{10a}R^{10a}, (CHR)_rC(O)NR^{10a}OR^{10d},
(CHR)_qSO₂NR^{10a}R^{10a}, (CHR)_rC(O)OR^{10d}, and a (CHR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{10e};

R¹¹, is selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
20 alkynyl, (CRR)_rOH, (CRR)_rSH, (CRR)_rOR^{11d},
(CRR)_rS(O)_pR^{11d}, (CRR)_rC(O)R^{11b}, (CRR)_rNR^{11a}R^{11a},
(CRR)_rC(O)NR^{11a}R^{11a}, (CRR)_rC(O)NR^{11a}OR^{11d},
(CRR)_rSO₂NR^{11a}R^{11a}, (CRR)_rC(O)OR^{11d}, a (CRR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{11e}, and a
25 (CRR)_r-5-10 membered heterocyclic system containing
1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{11e};

R^{11a}, at each occurrence, is independently selected from
30 H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{11e}, C₃₋₈
alkenyl substituted with 0-3 R^{11e}, C₃₋₈ alkynyl
substituted with 0-3 R^{11e}, (CH₂)_rC₃₋₆ cycloalkyl, a
(CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5

R^{11e} , and a $(CH_2)_r$ -5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{11e} ;

B1
Cost

R^{11b} , at each occurrence, is independently selected from C_{1-6} alkyl substituted with 0-3 R^{11e} , C_{2-8} alkenyl substituted with 0-3 R^{11e} , C_{2-8} alkynyl substituted with 0-3 R^{11e} , a $(CH_2)_r$ - C_{3-6} carbocyclic residue substituted with 0-2 R^{11e} , and a $(CH_2)_r$ -5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{11e} ;

R^{11d} , at each occurrence, is independently selected from H, methyl, $-CF_3$, C_{2-6} alkyl substituted with 0-3 R^{11e} , C_{3-6} alkenyl substituted with 0-3 R^{11e} , C_{3-6} alkynyl substituted with 0-3 R^{11e} , a C_{3-10} carbocyclic residue substituted with 0-3 R^{11e} , and a $(CH_2)_r$ -5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{11e} ;

R^{11e} , at each occurrence, is independently selected from C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{3-6} cycloalkyl, Cl, F, Br, I, CN, NO_2 , $(CF_2)_rCF_3$, $(CH_2)_rOC_{1-5}$ alkyl, OH, $-O-C_{1-6}$ alkyl, SH, $(CH_2)_rSC_{1-5}$ alkyl, $(CH_2)_rNR^{11f}R^{11f}$, and $(CH_2)_r$ phenyl;

R^{11f} , at each occurrence, is independently selected from H, C_{1-6} alkyl, and C_{3-6} cycloalkyl;

R^{12} is selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, $(CRR)_qOH$, $(CRR)_qSH$, $(CRR)_qOR^{12d}$,

(CRR)_qS(O)_pR^{12d}, (CRR)_rC(O)R^{12b}, (CRR)_rNR^{12a}R^{12a},
(CRR)_rC(O)NR^{12a}R^{12a}, (CRR)_rC(O)NR^{12a}OR^{12d},
(CRR)_qSO₂NR^{12a}R^{12a}, (CRR)_rC(O)OR^{12d}, a (CRR)_r-C₃₋₁₀
carbocyclic residue substituted with 0-5 R^{12e}, and a
(CRR)_r-5-10 membered heterocyclic system containing
1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{12e};

R^{12a}, at each occurrence, is independently selected from H, methyl, C₂₋₆ alkyl substituted with 0-3 R^{12e}, C₃₋₈ alkenyl substituted with 0-3 R^{12e}, C₃₋₈ alkynyl substituted with 0-3 R^{12e}, (CH₂)_rC₃₋₆ cycloalkyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{12e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{12e};

R^{12b} , at each occurrence, is independently selected from C_{1-6} alkyl substituted with 0-3 R^{12e} , C_{2-8} alkenyl substituted with 0-3 R^{12e} , C_{2-8} alkynyl substituted with 0-3 R^{12e} , a $(CH_2)_r$ - C_{3-6} carbocyclic residue substituted with 0-2 R^{12e} , and a $(CH_2)_r$ -5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{12e} ;

R^{12d}, at each occurrence, is independently selected from H, methyl, -CF₃, C₂₋₆ alkyl substituted with 0-3 R^{12e}, C₃₋₆ alkenyl substituted with 0-3 R^{12e}, C₃₋₆ alkynyl substituted with 0-3 R^{12e}, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{12e}, and a (CH₂)_r-5-6 membered heterocyclic system containing

1-4 heteroatoms selected from N, O, and S,
substituted with 0-3 R^{12e};

R^{12e}, at each occurrence, is independently selected from
C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₆
cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃,
(CH₂)_rOC₁₋₅ alkyl, OH, -O-C₁₋₆ alkyl, SH, (CH₂)_rSC₁₋₅
alkyl, (CH₂)_rNR^{12f}R^{12f}, and (CH₂)_rphenyl;

10 R^{12f}, at each occurrence, is selected from H, C₁₋₆ alkyl,
and C₃₋₆ cycloalkyl;

R¹⁴ and R^{14a} are independently selected from H, and
C₁₋₄alkyl substituted with 0-1 R^{14b},

15 alternatively, R¹⁴ and R^{14a} can join to form a C₃₋₆
cycloalkyl;

20 R^{14b}, at each occurrence, is independently selected from
-OH, -SH, -NR^{14c}R^{14c}, -C(O)NR^{14c}R^{14c}, -NHC(O)R^{14c} and
phenyl;

R^{14c} is selected from H, C₁₋₄ alkyl and C₃₋₆ cycloalkyl;

25 R¹⁵ is selected from H, C₁₋₄ alkyl, and C₃₋₆ cycloalkyl;

R¹⁶ is selected from H, C₁₋₄ alkyl substituted with 0-3
R^{16a}, and C₃₋₆ cycloalkyl substituted with 0-3 R^{16a};

30 R^{16a} is selected from C₁₋₄ alkyl, -OH, -SH, -NR^{16c}R^{16c},
-C(O)NR^{16c}R^{16c}, and -NHC(O)R^{16c};

R^{16c} is selected from H, C₁₋₄ alkyl and C₃₋₆ cycloalkyl;

R¹⁷ is selected from H, C₁₋₄ alkyl, and C₃₋₄ cycloalkyl;

n is selected from 1 and 2;

l is selected from 0 and 1;

m is selected from 0 and 1;

p, at each occurrence, is selected from 0, 1, or 2;

q, at each occurrence, is selected from 1, 2, 3, or 4;
and

r, at each occurrence, is selected from 0, 1, 2, 3, or 4.

3. The compound of claim 2, wherein:

R¹⁴ and R^{14a} are H;

R¹⁵ is H; and

n is 1.

4. The compound of claim 3, wherein:

R¹⁶ is selected from H, C₁₋₄ alkyl substituted with 0-1
R^{16a}, wherein the alkyl is selected from methyl,
ethyl, propyl, i-propyl, butyl, i-butyl, and s-
butyl, and C₃₋₄ cycloalkyl substituted with 0-3 R^{16a}
wherein the cycloalkyl is selected from cyclopropyl
and cyclobutyl;

R^{16a} is selected from methyl, ethyl, propyl, i-propyl,
-OH, -SH, -NR^{16c}R^{16c}, -C(O)NR^{16c}R^{16c}, and -NHC(O)R^{16c};
and

R¹⁷ is selected from H, methyl, ethyl, propyl, and i-propyl.

5. The compound of claim 4, wherein:

R⁹ and R¹¹ are H; and

R⁸ and R¹⁰ are independently selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue wherein the carbocyclic residue is selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl and naphthyl.

6. The compound of claim 5, wherein:

R³ is selected from (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{3d}, (CRR)_qS(O)_pR^{3d}, (CRR)_rC(O)R^{3b}, (CRR)_qNR^{3a}R^{3a}, (CRR)_rC(O)NR^{3a}R^{3a}, (CRR)_rC(O)NR^{3a}OR^{3d}, (CRR)_qSO₂NR^{3a}R^{3a}, (CRR)_rC(O)OR^{3d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{3e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{3e} wherein the heterocyclic system is selected from pyridinyl, thiophenyl, furanyl, indazolyl, benzothiazolyl, benzimidazolyl, benzothiophenyl, benzofuranyl, benzoxazolyl, benzisoxazolyl, quinolinyl, isoquinolinyl, imidazolyl, indolyl, indolinyl, isoindolyl, isothiadiazolyl, isoxazolyl, piperidinyl, pyrrazolyl, pyrrolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, 1,2,4-triazolyl, 1,2,3-triazolyl, tetrazolyl, thiadiazolyl, thiazolyl, oxazolyl, pyrazinyl, and pyrimidinyl;

R⁶ is selected from H, (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{6d}, (CRR)_qS(O)_pR^{6d}, (CRR)_rC(O)R^{6b}, (CRR)_qNR^{6a}R^{6a},

(CRR)_rC(O)NR^{6a}R^{6a}, (CRR)_rC(O)NR^{6a}OR^{6d},
(CRR)_rSO₂NR^{6a}R^{6a}, (CRR)_rC(O)OR^{6d}, a (CRR)_r-C₆₋₁₀
carbocyclic residue substituted with 0-5 R^{6e}, and a
(CRR)_r-5-10 membered heterocyclic system containing
1-4 heteroatoms selected from N, O, and S,
substituted with 0-6 R^{6e} wherein the heterocyclic
system is selected from pyridinyl, thiophenyl,
furanyl, indazolyl, benzothiazolyl, benzimidazolyl,
benzothiophenyl, benzofuranyl, benzoxazolyl,
benzisoxazolyl, quinolinyl, isoquinolinyl,
imidazolyl, indolyl, indolinyl, isoindolyl,
isothiadiazolyl, isoxazolyl, piperidinyl,
pyrrolidinyl, tetrahydrofuranyl,
tetrahydrothiophenyl, 1,2,4-triazolyl, 1,2,6-
triazolyl, tetrazolyl, thiadiazolyl, thiazolyl,
oxazolyl, pyrazinyl, and pyrimidinyl;

R⁷ is H;

R¹² is selected from H, methyl, ethyl, and propyl;

alternatively, R³ and R¹² join to form a C₃₋₆ cycloalkyl
substituted with 0-2 R^{3g}, a C₅₋₆ lactam substituted
with 0-2 R^{3g}, or a C₅₋₆ lactone substituted with 0-2
R^{3g}.

7. The compound of claim 6, wherein:

R¹ is selected from phenyl substituted with 0-3 R⁴ and a
5-10 membered heteroaryl system substituted with 0-3
R⁴, wherein the heteroaryl is selected from
benzimidazolyl, benzofuranyl, benzothiophenyl,
benzoxazolyl, benzthiazolyl, benztriazolyl,
benztetrazolyl, benzisoxazolyl, benzisothiazolyl,
benzimidazolyl, cinnolinyl, furanyl, imidazolyl,
indazolyl, indolyl, isoquinolinyl isothiazolyl,

isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl,
pyridazinyl, pyridinyl, pyrimidinyl, pyrrolyl,
quinazolinyl, quinolinyl, thiazolyl, thienyl, and
tetrazolyl;

5
cont R² is selected from phenyl substituted with 0-3 R⁵ and a
5-10 membered heteroaryl system containing 1-4
heteroatoms substituted with 0-3 R⁵, wherein the
heteroaryl system is selected from benzimidazolyl,
10 benzofuranyl, benzothiofuranyl, benzoxazolyl,
benzthiazolyl, benztriazolyl, benztetrazolyl,
benzisoxazolyl, benzisothiazolyl, benzimidazalonyl,
cinnolinyl, furanyl, imidazolyl, indazolyl, indolyl,
15 isoquinolinyl isothiazolyl, isoxazolyl, oxazolyl,
pyrazinyl, pyrazolyl, pyridazinyl, pyridinyl,
pyrimidinyl, pyrrolyl, quinazolinyl, quinolinyl,
thiazolyl, thienyl, and tetrazolyl.

20 8. The compound of claim 7, wherein:

X is CHR¹⁶R¹⁷;

25 R⁴, at each occurrence, is selected from C₁₋₈ alkyl, C₂₋₈
alkenyl, C₂₋₈ alkynyl, (CR'R')_rC₃₋₆ cycloalkyl, Cl,
Br, I, F, NO₂, CN, (CR'R')_rNR^{4a}R^{4a}, (CR'R')_rOH,
(CR'R')_rOR^{4d}, (CR'R')_rSH, (CR'R')_rSR^{4d},
(CR'R')_rC(O)OH, (CR'R')_rC(O)R^{4b}, (CR'R')_rC(O)NR^{4a}R^{4a},
(CR'R')_rNR^{4f}C(O)R^{4b}, (CR'R')_rC(O)OR^{4d},
(CR'R')_rOC(O)R^{4b}, (CR'R')_rNR^{4f}C(O)OR^{4d},
30 (CR'R')_rOC(O)NR^{4a}R^{4a}, (CR'R')_rNR^{4a}C(O)NR^{4a}R^{4a},
(CR'R')_rS(O)_pR^{4b}, (CR'R')_rS(O)₂NR^{4a}R^{4a},
(CR'R')_rNR^{4f}S(O)₂R^{4b}, (CR'R')_rNR^{4f}S(O)₂NR^{4a}R^{4a}, C₁₋₆
haloalkyl, and (CR'R')_rphenyl substituted with 0-3
R^{4e};

contd.
a³

alternatively, two R⁴ on adjacent atoms join to form
-O-(CH₂)-O-;

5 R^{4a}, at each occurrence, is independently selected from H, methyl, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, and a (CH₂)_r-C₃₋₆ carbocyclic residue selected from cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl;

10 R^{4b}, at each occurrence, is selected from methyl, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, a (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-3 R^{4e}, wherein the carbocyclic residue is selected from
15 cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-2 R^{4e}, wherein the heterocyclic system is selected from pyridinyl, thiophenyl,
20 furanyl, indazolyl, benzothiazolyl, benzimidazolyl, benzothiophenyl, benzofuranyl, benzoxazolyl, benzisoxazolyl, quinolinyl, isoquinolinyl, imidazolyl, indolyl, indolinyl, isoindolyl, isothiadiazolyl, isoxazolyl, piperidinyl,
25 pyrrazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, tetrazolyl, thiadiazolyl, thiazolyl, oxazolyl, pyrazinyl, and pyrimidinyl;

30 R^{4d}, at each occurrence, is selected from H, methyl, CF₃, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, and a (CH₂)_r-C₃₋₆ carbocyclic residue selected from cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl;

35 R^{4e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, F,

contd.
a³

Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH,
(CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{4f}R^{4f}, and (CH₂)_rphenyl;

R^{4f}, at each occurrence, is selected from H, methyl,
ethyl, propyl, i-propyl, butyl, and cyclopropyl,
cyclobutyl, and phenyl;

R⁵, at each occurrence, is selected from methyl, ethyl,
propyl, i-propyl, butyl, i-butyl, s-butyl, t-butyl,
pentyl, hexyl, (CR'R')_rC₃₋₆ cycloalkyl, Cl, Br, I, F,
NO₂, CN, (CR'R')_rNR^{5a}R^{5a}, (CR'R')_rOH, (CR'R')_rOR^{5d},
(CR'R')_rSH, (CR'R')_rC(O)H, (CR'R')_rSR^{5d},
(CR'R')_rC(O)OH, (CR'R')_rC(O)R^{5b}, (CR'R')_rC(O)NR^{5a}R^{5a},
(CR'R')_rNR^{5f}C(O)R^{5b}, (CR'R')_rC(O)OR^{5d},
(CR'R')_rOC(O)R^{5b}, (CR'R')_rNR^{5f}C(O)OR^{5d},
(CR'R')_rOC(O)NR^{5a}R^{5a}, (CR'R')_rNR^{5a}C(O)NR^{5a}R^{5a},
(CR'R')_rNR^{7a}C(O)NR^{7a}R^{7a}, (CR'R')_rNR^{7a}C(O)O(CR'R')_rR^{7d},
(CR'R')_rS(O)_pR^{5b}, (CR'R')_rS(O)₂NR^{5a}R^{5a},
(CR'R')_rNR^{5f}S(O)₂R^{5b}, C₁₋₆ haloalkyl, and
(CHR')_rphenyl substituted with 0-3 R^{5e};

alternatively, two R⁵ on adjacent atoms join to form
-O-(CH₂)-O-;

R^{5a}, at each occurrence, is independently selected from H,
methyl, ethyl, propyl, i-propyl, butyl, s-butyl, i-
butyl, t-butyl, pentyl, hexyl, allyl, propargyl, and
a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with
0-1 R^{5e}, wherein the carbocyclic residue is selected
from cyclopropyl, cyclobutyl, cyclopentyl,
cyclohexyl, phenyl and naphthyl;

R^{5b}, at each occurrence, is selected from methyl, ethyl,
propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl,
pentyl, hexyl, allyl, propargyl, a (CH₂)_r-C₃₋₆
carbocyclic residue selected from cyclopropyl,

contd.
a³

cyclobutyl, cyclopentyl, cyclohexyl, and phenyl; and
a (CH₂)_r-5-6 membered heterocyclic system containing
1-4 heteroatoms selected from N, O, and S, wherein
the heterocyclic system is selected from pyridinyl,
thiophenyl, furanyl, indazolyl, azetidyl,
benzothiazolyl, benzimidazolyl, benzothiophenyl,
benzofuranyl, benzoxazolyl, benzisoxazolyl,
quinolinyl, isoquinolinyl, imidazolyl, indolyl,
indolinyl, isoindolyl, isothiadiazolyl, isoxazolyl,
morpholinyl, piperidinyl, pyrrolyl, 2,5-
dihydropyrrolyl, pyrrazolyl, 1,2,4-triazolyl, 1,2,3-
triazolyl, tetrazolyl, thiadiazolyl, thiazolyl,
oxazolyl, pyrazinyl, and pyrimidinyl;

R^{5d}, at each occurrence, is selected from H, methyl, CF₃,
ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-
butyl, pentyl, hexyl, allyl, propargyl, and a (CH₂)_r-
C₃₋₆ carbocyclic residue selected from cyclopropyl,
cyclobutyl, cyclopentyl and cyclohexyl;

R^{5e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈
alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, F,
Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH,
(CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{4f}R^{4f}, and (CH₂)_rphenyl; and

R^{5f}, at each occurrence, is selected from H, methyl,
ethyl, propyl, i-propyl, butyl, and cyclopropyl,
cyclobutyl, and phenyl.

9. The compound of claim 8, wherein:

R⁵ is selected from methyl, ethyl, propyl, i-propyl,
butyl, i-butyl, s-butyl, pentyl, hexyl, CF₃, CF₂CF₃,
CF₂H, OCF₃, Cl, Br, I, F, SCF₃, NR^{5a}R^{5a}, NHC(O)OR^{5a},
NHC(O)R^{5b}, and NHC(O)NHR^{5a}; and

R¹² is selected from H and methyl.

10. A compound of claim 9, wherein:

Z is $-C(O)-$;

X is $-CHR^{16}NR^{17}-$;

R^1 is selected from phenyl substituted with 0-3 R^4 , and a 5-10 membered heteroaryl system substituted with 0-2 R^4 , wherein the heteroaryl is selected from indolyl, and pyridyl;

R^2 is phenyl substituted with 0-2 R^5 ;

R^3 is selected from $(CRR)_qOH$, $(CRR)_qOR^{3d}$, $(CH_2)_rC(O)OH$, $(CH_2)_rC(O)NR^{3a}R^{3a}$, $(CHR)_rC(O)NR^{3a}OR^{3d}$, $(CH_2)C(O)R^{3b}$, $(CH_2)_rC(O)OR^{3d}$, and (CH_2) -phenyl;

alternatively, R^3 and R^{12} join to form cyclopropyl, cyclopentyl or cyclohexyl;

R^{3a} is selected from H, methyl, ethyl, propyl, i-propyl, butyl, i-butyl, s-butyl, t-butyl, allyl, CH_2CF_3 , $C(CH_3)CH_2CH_2OH$, cyclopropyl, 1-methylcyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, and benzyl;

R^{3b} is selected from pyrrolidinyl, pyrrolid-3-enyl, and morpholinyl;

R^{3d} is selected from methyl, ethyl, propyl, i-propyl, butyl, i-butyl, t-butyl and benzyl;

R is selected from H, methyl, ethyl, propyl, i-propyl, butyl, i-butyl, s-butyl, pentyl, neopentyl, phenyl and benzyl;

B1
cont
R⁴ is selected from methyl, ethyl, propyl, i-propyl,
butyl, ethylene, OCH₃, OCF₃, SCH₃, SO₂CH₃, Cl, F, Br,
CN;

5 alternatively, two R⁴ join to form -O-(CH₂)-O-;

R⁶ is selected from H, methyl, ethyl, propyl, i-propyl,
butyl, C(O)OCH₃, C(O)NHCH₂CH₃;

10 R⁷, R⁹, and R¹¹ are H;

R⁸ is H;

R¹⁰ is selected from H and methyl;

15

R¹⁶ is selected from H and methyl;

R¹⁷ is selected from H and methyl;

20 m is 0 or 1;

l is 0 or 1

r is 0 or 1; and

25

q is 1.

11. The compound of claim 1, wherein

30 R³ is H; and

R⁶, is selected from C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, (CRR)_qOH, (CRR)_qSH, (CRR)_qOR^{6d},

(CRR)_qS(O)_pR^{6d}, (CRR)_rC(O)R^{6b}, (CRR)_rNR^{6a}R^{6a},

35

(CRR)_rC(O)NR^{6a}R^{6a}, (CRR)_rC(O)NR^{6a}OR^{6d}, (CRR)SO₂NR^{6a}R^{6a},

(CRR)_rC(O)OR^{6d}, a (CRR)_r-C₃₋₁₀ carbocyclic residue

substituted with 0-5 R^{6e}, and a (CRR)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{6e}.

5 12. The compound of claim 11, wherein

R¹⁴ and R^{14a} are H;

R¹⁵ is H;

10 n is 1;

R¹⁶ is selected from H, C₁₋₄ alkyl substituted with 0-1 R^{16a}, wherein the alkyl is selected from methyl, ethyl, propyl, i-propyl, butyl, i-butyl, and s-butyl, and C₃₋₄ cycloalkyl substituted with 0-3 R^{16a} wherein the cycloalkyl is selected from cyclopropyl and cyclobutyl;

15 20 R^{16a} is selected from methyl, ethyl, propyl, i-propyl, -OH, -SH, -NR^{16c}R^{16c}, -C(O)NR^{16c}R^{16c}, and -NHC(O)R^{16c};

R¹⁷ is selected from H, methyl, ethyl, propyl, and i-propyl;

25 R⁹ and R¹¹ are H; and

R⁸ and R¹⁰ are independently selected from H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue wherein the carbocyclic residue is selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl and naphthyl.

30 13. The compound of claim 12, wherein

~~X is CHR¹⁶R¹⁷;~~

contd.
A4

3007505-2266

R⁵ is selected from methyl, ethyl, propyl, i-propyl, butyl, i-butyl, s-butyl, pentyl, hexyl, CF₃, CF₂CF₃, CF₂H, OCF₃, Cl, Br, I, F, SCF₃, NR^{5a}R^{5a}, NHC(O)OR^{5a}, NHC(O)R^{5b}, and NHC(O)NHR^{5a}; and

5

R¹² is selected from H and methyl;

Z is -C(O)-;

10 R¹ is selected from phenyl substituted with 0-3 R⁴, and a 5-10 membered heteroaryl system substituted with 0-2 R⁴, wherein the heteroaryl is selected from indolyl, and pyridyl;

15 R² is phenyl substituted with 0-2 R⁵;

R³ is selected from (CRR)_qOH, (CRR)_qOR^{3d}, (CH₂)_rC(O)OH, (CH₂)_rC(O)NR^{3a}R^{3a}, (CHR)_rC(O)NR^{3a}OR^{3d}, (CH₂)_rC(O)R^{3b}, (CH₂)_rC(O)OR^{3d}, and (CH₂)-phenyl;

20

alternatively, R³ and R¹² join to form cyclopropyl, cyclopentyl or cyclohexyl;

25 R^{3a} is selected from H, methyl, ethyl, propyl, i-propyl, butyl, i-butyl, s-butyl, t-butyl, allyl, CH₂CF₃, C(CH₃)CH₂CH₂OH, cyclopropyl, 1-methylcyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, and benzyl;

30 R^{3b} is selected from pyrrolidinyl, pyrrolid-3-enyl, and morpholinyl;

R^{3d} is selected from methyl, ethyl, propyl, i-propyl, butyl, i-butyl, t-butyl and benzyl;

35

contd.
a⁴

R is selected from H, methyl, ethyl, propyl, i-propyl, butyl, i-butyl, s-butyl, pentyl, neopentyl, phenyl and benzyl;

5 R⁴ is selected from methyl, ethyl, propyl, i-propyl, butyl, ethylene, OCH₃, OCF₃, SCH₃, SO₂CH₃, Cl, F, Br, CN;

alternatively, two R⁴ join to form -O-(CH₂)-O-;

10

R⁶ is selected from H, methyl, ethyl, propyl, i-propyl, butyl, C(O)OCH₃, C(O)NHCH₂CH₃;

R⁷, R⁹, and R¹¹ are H;

15

R⁸ is H;

R¹⁰ is selected from H and methyl;

20

R¹⁶ is selected from H and methyl;

R¹⁷ is selected from H and methyl;

m is 0 or 1;

25

l is 0 or 1

r is 0 or 1; and

30

q is 1.

14. The compound of claim 1, wherein the compound is selected from :

35

Methyl (2S)-3-[[[(2,4-dimethylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanoate;

B1
cont

100-225-200

(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

5

(2S)-N-Cyclobutyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

10

(2S)-N-Phenyl-3-[[(2,4-dimethylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

15

(2S)-N,N-Dimethyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

20

(2S)-N-Methyl,N-methoxy-3-[[(2,4-
dimethylphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

25

Methyl (2S)-3-[[(4-chlorophenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanoate;

30

(2S)-3-[[(4-chlorophenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

35

(2S)-N-Ethyl-3-[[(4-chlorophenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;
Methyl (2S)-3-[[(1S/R)-1-(4-chlorophenyl)ethyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanoate;

B1
Cont⁵

Methyl (2S)-3-[[[(1S/R)-1-(2,4-dimethylphenyl)ethyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanoate;

Methyl (2S)-3-[(1H-indol-3-ylmethyl)amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanoate;

10 (2S)-3-[(1H-indol-3-ylmethyl)amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

Methyl (2S)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanoate;

20 Methyl (2S)-3-[[[(4-bromophenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanoate;

Methyl (2S)-2-[[[2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-[[[(2,4-dimethylphenyl)methyl]amino]-propanoate;

Methyl (2S)-2-[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-[[[(2,4-dimethylphenyl)methyl]amino]-propanoate;

30 (2S)-2-[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

35 N-[2-[[[(1S)-2-[[[(2,4-dimethylphenyl)methyl]amino]-1-(hydroxymethyl)ethyl]amino]-2-oxoethyl]-3-(trifluoromethyl)benzamide;

B1
Cont⁵

10
15
20
25
30
35

- ~~N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)butyl]amino]-2-oxoethyl]-3-(trifluoromethyl)benzamide;~~
- ~~N-[2-[[[(1S, 2R)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)butyl]amino]-2-oxoethyl]-3-(trifluoromethyl)benzamide;~~
- ~~N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)butyl]amino]-2-oxoethyl]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzamide;~~
- ~~N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)butyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;~~
- ~~N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-4-(methyl)pentyl]amino]-2-oxoethyl]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzamide;~~
- ~~N-[2-[[[(1S, 2R)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-4-(methyl)pentyl]amino]-2-oxoethyl]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzamide;~~
- ~~N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-4-(methyl)pentyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;~~

B' cont
5
300275030
N-[2-[[[(1*S*, 2*R*)-1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]-2-hydroxy-4-
(methyl)pentyl]amino]-2-oxoethyl]-2-amino-5-
(trifluoromethyl)benzamide;

N-[2-[[[(1*S*, 2*S*)-1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]-4,4-dimethyl-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-3-
(trifluoromethyl)benzamide;

10
N-[2-[[[(1*S*, 2*R*)-1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]-4,4-dimethyl-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-3-
(trifluoromethyl)benzamide;

15
N-[2-[[[(1*S*, 2*S*)-1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-3-
(trifluoromethyl)benzamide;

20
N-[2-[[[(1*S*, 2*R*)-1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-3-
(trifluoromethyl)benzamide;

25
N-[2-[[[(1*S*, 2*S*)-1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-2-[[[(1,1-
dimethylethoxy)carbonyl]amino]-5-
30 (trifluoromethyl)benzamide;

35
N-[2-[[[(1*S*, 2*R*)-1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-2-[[[(1,1-
dimethylethoxy)carbonyl]amino]-5-
(trifluoromethyl)benzamide;

N-[2-[[[(1*S*, 2*S*)-1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]-2-

(hydroxy)pentyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;

B1
cont⁵
N-[2-[[[(1S, 2R)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;

10 N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-3-amino-5-(trifluoromethyl)benzamide;

15 N-[2-[[[(1S, 2R)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-3-amino-5-(trifluoromethyl)benzamide;

20 N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-[[[(ethylamino)carbonyl]amino]-5-(trifluoromethyl)benzamide;

25 N-[2-[[[(1S, 2R)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-[[[(ethylamino)carbonyl]amino]-5-(trifluoromethyl)benzamide;

30 N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-[[[(isopropylamino)carbonyl]amino]-5-(trifluoromethyl)benzamide;

35 N-[2-[[[(1S, 2R)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-

B1
cont
N-[2-[[[(1S, 2S)-1-[[[(4-ethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;

N-[2-[[[(1S, 2S)-1-[[[(4-ethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-[[[isopropylamino]carbonyl]amino]-5-(trifluoromethyl)benzamide;

10 N-[2-[[[(1S, 2S)-1-[[[(4-ethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-[(4-morpholinylcarbonyl)amino]-5-(trifluoromethyl)benzamide;

15 N-[2-[[[(1S, 2S)-1-[[[(4-dimethylamino-2-methylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-[[[1,1-dimethylethoxy]carbonyl]amino]-5-(trifluoromethyl)benzamide;

20 N-[2-[[[(1S, 2S)-1-[[[(4-dimethylamino-2-methylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;

25 N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-(tert-butyl)amino-5-(trifluoromethyl)benzamide;

30 N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-isopropylamino-5-(trifluoromethyl)benzamide;

35 N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-benzylamino-5-(trifluoromethyl)benzamide;

B¹₅
cont

76675033 "T2200"

N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(methoxy)pentyl]amino]-2-oxoethyl]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzamide;

10 N-[2-[[[(1S, 2S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-(methoxy)pentyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;

15 N-[2-[[[(S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-2-(methyl)propyl]amino]-2-oxoethyl]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzamide;

20 N-[2-[[[(S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-2-(methyl)propyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;

25 N-[2-[[[(S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-2-(ethyl)butyl]amino]-2-oxoethyl]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzamide;

30 N-[2-[[[(S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-2-(ethyl)butyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;

35 N-[2-[[[(S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-2-(propyl)pentyl]amino]-2-oxoethyl]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzamide;

N-[2-[[[(S)-1-[[[(2,4-dimethylphenyl)methyl]amino]methyl]-2-hydroxy-2-(propyl)pentyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;

B1
cont⁵
N-[2-[[[(S)-2-[[[(2,4-dimethylphenyl)methyl]amino]-1-(hydroxycyclopentyl)ethyl]amino]-2-oxoethyl]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzamide];

N-[2-[[[(S)-1-[[[(S)-2-[[[(2,4-dimethylphenyl)methyl]amino]-1-(hydroxycyclopentyl)ethyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide];

10 (2S)-N-tert-Butyl-3-[[[(2,4-dimethylphenyl)methyl]amino]-2-[[[[3-(trifluoromethoxy)benzoyl]amino]acetyl]amino]-propanamide;

15 (2S)-N-tert-Butyl-3-[[[(2,4-dimethylphenyl)methyl]amino]-2-[[[[3-(difluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

20 (2S)-N-tert-Butyl-3-[[[(2,4-dimethylphenyl)methyl]amino]-2-[[[[3-(trifluoromethylthio)benzoyl]amino]acetyl]amino]-propanamide;

25 (2S)-N-tert-Butyl-3-[[[(2,4-dimethylphenyl)methyl]amino]-2-[[[[3-(pentafluoroethyl)benzoyl]amino]acetyl]amino]-propanamide;

30 (2S)-N-tert-Butyl-2-[[[[2-amino-5-(trifluoromethoxy)benzoyl]amino]acetyl]amino]-3-[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

35 (2S)-N-tert-Butyl-2-[[[[2-amino-5-(methyl)benzoyl]amino]acetyl]amino]-3-[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

(2S)-N-tert-Butyl-3-[[[(2,4-dimethylphenyl)methyl]amino]-2-[[[[2-ethylamino-5-

(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

B1
cont
(2*S*)-*N*-*tert*-Butyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[2-propylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

10 (2*S*)-*N*-*tert*-Butyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[2-isobutylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

15 (2*S*)-*N*-*tert*-Butyl-2-[[[2-butylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

20 (2*S*)-*N*-*tert*-Butyl-2-[[[2-cyclohexylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

25 (2*S*)-*N*-*tert*-Butyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[2-isopropylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

30 (2*S*)-*N*-*tert*-Butyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[2-(*tert*-butyl)amino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

35 (2*S*)-*N*-*tert*-Butyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[2-(methylaminocarbonyl)amino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2*S*)-*N*-*tert*-Butyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[2-(isopropoxycarbonyl)amino-5-

(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-tert-Butyl-3-[[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[2-(isopropylaminocarbonyl)amino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

10 (2S)-N-tert-Butyl-2-[[[2-(cyclohexylcarbonyl)amino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

15 (2S)-N-tert-Butyl-2-[[[2-benzylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

20 (2S)-N-tert-Butyl-2-[[[2-(para-chloro)benzylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

(2S)-N-tert-Butyl-2-[[[2-[(beta-naphthyl)methyl]amino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

25 (2S)-N-tert-Butyl-2-[[[2-(meta-methyl)benzylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

30 (2S)-N-tert-Butyl-2-[[[2-(para-methyl)benzylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

35 (2S)-N-tert-Butyl-2-[[[2-(ortho-methyl)benzylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

(2S)-N-tert-Butyl-3-[[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[2-(para-trifluoromethyl)benzylamino-5-

(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

B1 5
cont (2S)-N-tert-Butyl-2-[[[3-amino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

10 (2S)-N-tert-Butyl-2-[[[3-benzylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

15 (2S)-N-tert-Butyl-2-[[[3-methylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

(2S)-N-tert-Butyl-2-[[[3-ethylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

20 (2S)-N-tert-Butyl-2-[[[3-isobutylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

25 (2S)-N-tert-Butyl-2-[[[3-propylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

30 (2S)-N-tert-Butyl-2-[[[3-butylamino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

(2S)-N-tert-Butyl-2-[[[3-(trifluoromethylcarbonyl)amino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

35 (2S)-N-tert-Butyl-2-[[[3-(ethoxycarbonyl)amino-5-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-
[[(2,4-dimethylphenyl)methyl]amino]-propanamide;

B1
Cont

10027505 " 122004

(2S)-2-[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-[[2-methyl-4-bromophenyl)methyl]amino]-propanamide;

(2S)-2-[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-3-[[4-bromophenyl)methyl]amino]-propanamide;

10 (2S)-N-tert-Butyl-3-[[4-methylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

15 (2S)-N-tert-Butyl-3-[[4-bromophenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

20 (2S)-N-tert-Butyl-3-[[4-bromo-2-methylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

25 (2S)-N-tert-Butyl-3-[[4-methoxyphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

30 (2S)-N-tert-Butyl-3-[[4-methoxy-2-methylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

(2S)-N-tert-Butyl-3-[[2-methoxypyridin-5-yl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

35 (2S)-N-tert-Butyl-3-[[2,3-dimethyl-4-methoxyphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

B⁵
cont

10

15

20

25

30

35

365

(2S)-N-tert-Butyl-3-[[(4-methylthiophenyl)methyl]amino]-
2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-tert-Butyl-3-[[(4-
methylsulfonylphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-tert-Butyl-3-[[(4-
trifluoromethoxyphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-tert-Butyl-3-[[(3-amino-4-
methylphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-tert-Butyl-3-[[(indol-3-yl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-tert-Butyl-3-[[(2-methylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-tert-Butyl-3-[[(2-ethylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2R)-N-Ethyl-3-[[(2,4-dimethylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2R)-N-tert-Butyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[3-

(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

B1
*Cont*⁵
(2R)-N-[(2-methyl)hydroxyprop-2-yl]-3-[[(2,4-
dimethylphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

10 (2S)-N-tert-Amyl-3-[[(2,4-dimethylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

15 (2S)-N-[(2-methyl)hydroxyprop-2-yl]-3-[[(2,4-
dimethylphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

20 (2S)-N-[(1-methyl)cycloprop-1-yl]-3-[[(2,4-
dimethylphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

25 (2S)-N-Cyclopentyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

30 (2S)-N-Cyclohexyl-3-[[(2,4-dimethylphenyl)methyl]amino]-
2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

35 (2S)-N-(β,β,β -Trifluoro)ethyl-3-[[(2,4-
dimethylphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-Allyl-3-[[(2,4-dimethylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-Cyclopropylmethyl-3-[[(2,4-
dimethylphenyl)methyl]amino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

10 N-[2-[[(2S)-3-[[(2,4-dimethylphenyl)methyl]amino]-1-
(pyrrolid-3-enyl)-1-oxopropyl-2-amino]-2-oxoethyl]-
3-(trifluoromethyl)benzamide;

15 N-[2-[[(2S)-3-[[(2,4-dimethylphenyl)methyl]amino]-1-
(pyrrolidinyl)-1-oxopropyl-2-amino]-2-oxoethyl]-3-
(trifluoromethyl)benzamide;

20 N-[2-[[(2S)-3-[[(2,4-dimethylphenyl)methyl]amino]-1-
(morpholinyl)-1-oxopropyl-2-amino]-2-oxoethyl]-3-
(trifluoromethyl)benzamide;

25 (2S)-N-Isobutyl-3-[[(2,4-dimethylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

(2S)-N-sec-Butyl-3-[[(2,4-dimethylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

30 (2S)-N-tert-Butyl-4-[[(2,4-dimethylphenyl)methyl]amino]-
3-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
butanamide;

35 (2S,3R)-N-Ethyl-3-[[(2,4-dimethylphenyl)methyl]amino]-2-
[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-
butanamide;

(2*S*, 3*R*)-*N*-Ethyl-3-[[(4-bromophenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-butanamide;

Methyl (2*R*)-2-[[(2,4-dimethylphenyl)methyl]amino]-3-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanoate;

10 (2*R*)-*N*-Ethyl-2-[[(2,4-dimethylphenyl)methyl]amino]-3-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-propanamide;

15 Methyl (2*S*)-4-[[(2,4-dimethylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-butanoate;

20 (2*S*)-4-[[(2,4-dimethylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-butanamide;

(2*S*)-*N*-Ethyl-4-[[(2,4-dimethylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-butanamide;

25 (2*S*)-*N*-Ethyl-4-[[(2,4-dimethylphenyl)methyl]methylamino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-butanamide;

30 (2*S*)-*N*-*tert*-Butyl-2-[[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-4-[[(2,4-dimethylphenyl)methyl]amino]-butanamide;

35 (2*S*)-*N*-*tert*-Butyl-2-[[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-4-[[(2,4-dimethylphenyl)methyl]methylamino]-butanamide;

B⁵
Cont

1007505 2204

(2S)-N-tert-Butyl-2-[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-4-[[2,4-dimethylphenyl)methyl]amino]-butanamide;

(2S)-N-tert-Butyl-2-[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-4-[[2,4-dimethylphenyl)methyl]methylamino]-butanamide;

(2S)-N-tert-Butyl-2-[[[3-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-4-[[2,4-dimethylphenyl)methyl]amino]-butanamide;

(2S)-N-tert-Butyl-2-[[[3-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-4-[[4-ethylphenyl)methyl]amino]-butanamide;

(2S)-N-tert-Butyl-4-[[2,4-dimethylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-butanamide;

(2S)-N-tert-Butyl-4-[[4-ethylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-butanamide;

(2S)-N-Ethyl-5-[[2,4-dimethylphenyl)methyl]amino]-2-[[[3-(trifluoromethyl)benzoyl]amino]acetyl]amino]-pentanamide;

N-[2-[[1S, 2S/R)-1-[[[2,4-dimethylphenyl)methyl]methylamino]methyl]-2-hydroxy-3-(methyl)butyl]amino]-2-oxoethyl]-3-(trifluoromethyl)benzamide;

N-[2-[[1S, 2S)-1-[[[2,4-dimethylphenyl)methyl]methylamino]methyl]-2-(hydroxy)pentyl]amino]-2-oxoethyl]-2-

[[[(isopropylamino) carbonyl]amino]-5-
(trifluoromethyl)benzamide;

5 *B1 cont*
N-[2-[[[(1S, 2S)-1-[[[(2,4-
dimethylphenyl)methyl]isopropylamino]methyl]-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-2-
[[[(isopropylamino) carbonyl]amino]-5-
(trifluoromethyl)benzamide;

10 N-[2-[[[(1S, 2S)-1-[[[(4-
ethylphenyl)methyl]methylamino]methyl]-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-2-
[[[(isopropylamino) carbonyl]amino]-5-
(trifluoromethyl)benzamide;

15
20 N-[2-[[[(1S, 2S)-1-[[[(4-
ethylphenyl)methyl]isopropylamino]methyl]-2-
(hydroxy)pentyl]amino]-2-oxoethyl]-2-
[[[(isopropylamino) carbonyl]amino]-5-
(trifluoromethyl)benzamide;

25 (2S)-N-tert-Butyl-3-[[[(2,4-
dimethylphenyl)methyl]methylamino]-2-[[[3-
(trifluoromethyl)benzoyl]amino]acetyl]amino]-
propanamide;

30 N-[2-[[[1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]cyclohexyl]amino]-
2-oxoethyl]-3-(trifluoromethyl)benzamide;

N-[2-[[[1-[[[(4-
chlorophenyl)methyl]amino]methyl]cyclohexyl]amino]-
2-oxoethyl]-3-(trifluoromethyl)benzamide;

35 N-[2-[[[1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]cyclopentyl]amino]-
2-oxoethyl]-3-(trifluoromethyl)benzamide;

B¹
cont
5
N-[2-[[1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]cyclopentyl]amino
]-2-oxoethyl]-2-[[[(1,1-
dimethylethoxy)carbonyl]amino]-5-
(trifluoromethyl)benzamide;

10
N-[2-[[1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]cyclopropyl]amino
]-2-oxoethyl]-2-[[[(1,1-
dimethylethoxy)carbonyl]amino]-5-
(trifluoromethyl)benzamide;

15
N-[2-[[1-[[[(2,4-
dimethylphenyl)methyl]amino]methyl]cyclopropyl]amino
]-2-oxoethyl]-2-amino-5-(trifluoromethyl)benzamide;
and

20
(2S)-N-Ethyl-3-[[[(2,4-dimethylphenyl)methyl]amino]-2-
[[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]
amino]-2-methyl-propanamide.

25
15. A pharmaceutical composition, comprising a
pharmaceutically acceptable carrier and a therapeutically
effective amount of a compound of claim 1.

30
16. A method for modulation of chemokine or
chemokine receptor activity comprising administering to a
patient in need thereof a therapeutically effective
amount of a compound of claim 1.

35
17. A method for modulation of MCP-1, MCP-2, MCP-3
and MCP-4, and MCP-5 activity that is mediated by the
CCR2 receptor comprising administering to a patient in
need thereof a therapeutically effective amount of a
compound of claim 1.

18. A method for modulation of MCP-1 activity
comprising administering to a patient in need thereof a

therapeutically effective amount of a compound of claim 1.

19. A method for treating or preventing disorders, comprising administering to a patient in need thereof a therapeutically effective amount of a compound of claims 1, said disorders being selected from osteoarthritis, aneurism, fever, cardiovascular effects, Crohn's disease, congestive heart failure, autoimmune diseases, HIV-infection, HIV-associated dementia, psoriasis, idiopathic pulmonary fibrosis, transplant arteriosclerosis, physically- or chemically-induced brain trauma, inflammatory bowel disease, alveolitis, colitis, systemic lupus erythematosus, nephrotoxic serum nephritis, glomerularnephritis, asthma, multiple sclerosis, arteriosclerosis, and rheumatoid arthritis.

20. The method for treating or preventing disorders, of claim 19, wherein said disorders being selected from psoriasis, idiopathic pulmonary fibrosis, transplant arteriosclerosis, physically- or chemically-induced brain trauma, inflammatory bowel disease, alveolitis, colitis, systemic lupus erythematosus, nephrotoxic serum nephritis, glomerularnephritis, asthma, multiple sclerosis, arteriosclerosis, and rheumatoid arthritis.

21. The method for treating or preventing disorders, of claim 20, wherein said disorders being selected from alveolitis, colitis, systemic lupus erythematosus, nephrotoxic serum nephritis, glomerularnephritis, asthma, multiple sclerosis, arteriosclerosis, and rheumatoid arthritis.

B1
cont

22. The method for treating or preventing disorders, of claim 21, wherein said disorders being selected from asthma, multiple sclerosis, arteriosclerosis, and rheumatoid arthritis.

23. A method for treating or preventing rheumatoid arthritis, comprising administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.

10
24. A method for treating or preventing multiple sclerosis, comprising administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.

15
25. A method for treating or preventing atherosclerosis, comprising administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.

20
26. A method for treating or preventing asthma, comprising administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.

25
27. A method for treating or preventing inflammatory diseases, comprising administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.

30
28. A method for modulation of CCR2 activity comprising administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.